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(71) Applicant (for all designated States except US):  
**SPIROGEN LIMITED** [GB/GB]; 79 George Street,  
Ryde Isle of Wight PO33 2JF (GB).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **HOWARD, Philip**,  
**Wilson** [GB/GB]; 3 Westbourne Mews, The Maltings,  
St Albans Hertfordshire AL1 1LS (GB). **GREGSON**,  
**Stephen, John** [GB/GB]; 6 Warepoint Drive, Thames-  
mead, London Greater London SE28 0HJ (GB).

(74) Agents: **WATSON, Robert** et al.; Mewburn Ellis LLP,  
York House, 23 Kingsway, London Greater London WC2B  
6HP (GB).

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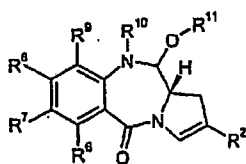
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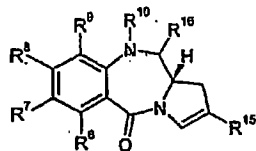
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(54) Title: 11-HYDROXY-5H-PYRROLO[2,1-C][1,4]BENZODIAZEPIN-5-ONE DERIVATIVES AS KEY INTERMEDIATES FOR THE PREPARATION OF C2 SUBSTITUTED PYRROLOBENZODIAZEPINES



(I)



(III)

(57) Abstract: The present inventors have developed a key intermediate for the production of C2 substituted PBDs, which has a leaving group at the C2 position, a carbamate protecting group at the N10 position and a protected hydroxy group at the C11 position. In a first aspect, the present invention comprises a compound with a the formula (I), wherein : R<sup>10</sup> is a carbamate-based nitrogen protecting group; R<sup>11</sup> is an oxygen protecting group; and R<sup>2</sup> is a labile leaving group. In a further aspect, the present invention comprises a method of synthesising a compound of formula (III), or a solvate thereof, from a compound of formula (I) as defined in the first aspect, R<sup>16</sup> is either O-R<sup>11</sup>, wherein R<sup>11</sup> is as defined in the first aspect, or OH, or R<sup>10</sup> and R<sup>16</sup>

together form a double bond between N10 and C11; and R<sup>15</sup> is R. The other substituents are defined in the claims. Further aspects of the present invention relate to compounds of formula (III) (including solvates thereof when R<sup>10</sup> and R<sup>16</sup> form a double bond between N10 and C11, and pharmaceutical salts thereof), pharmaceutical compositions comprising these, and their use in the manufacture of a medicament for the treatment of a proliferative disease.